

TRANSMITTAL LETTER TO THE UNITED STATES  
DESIGNATED/ELECTED OFFICE (DO/EO/US)  
CONCERNING A FILING UNDER 35 U.S.C. 371

ATTORNEY'S DOCKET NUMBER

Mo-6750/LeA 33,707

U.S. APPLICATION NO. (If known, see 37 CFR 1.5

10/049725

To Be Assigned

INTERNATIONAL APPLICATION NO.

INTERNATIONAL FILING DATE

PRIORITY DATE CLAIMED

PCT/EP00/04013

04 May 2000 (4.05.00)

12 May 1999 (12.05.99)

TITLE OF INVENTION  
SUBSTITUTED N-CYANO AMIDINES

APPLICANT(S) FOR DO/EO/US GESING, Ernst-Rudolf F., et al.

Applicant herewith submits to the United States Designated/Elected Office (DO/EO/US) the following items and other information:

1. ☒ This is a **FIRST** submission of items concerning a filing under 35 U.S.C. 371.
2. ☐ This is a **SECOND** or **SUBSEQUENT** submission of items concerning a filing under 35 U.S.C. 371.
3. ☐ This is an express request to begin national examination procedures (35 U.S.C. 371(f)). The submission must include items (5), (6), (9) and (21) indicated below.
4. ☒ The US has been elected by the expiration of 19 months from the priority date (Article 31).
5. ☒ A copy of the International Application as filed (35 U.S.C. 371(c)(2))
  - a. ☒ is attached hereto (required only if not communicated by the International Bureau).
  - b. ☐ has been communicated by the International Bureau.
  - c. ☐ is not required, as the application was filed in the United States Receiving Office (RO/US).
6. ☒ An English language translation of the International Application as filed (35 U.S.C. 371(c)(2))
  - a. ☒ is attached hereto.
  - b. ☐ has been previously submitted under 35 U.S.C. 154(d)(4).
7. ☐ Amendments to the claims of the International Application under PCT Article 19 (35 U.S.C. 371(c)(3))
  - a. ☐ are attached hereto (required only if not communicated by the International Bureau).
  - b. ☐ have been communicated by the International Bureau.
  - c. ☐ have not been made; however, the time limit for making such amendments has NOT expired.
  - d. ☐ have not been made and will not be made.
8. ☐ An English language translation of the amendments to the claims under PCT Article 19 (35 U.S.C. 371 (c)(3)).
9. ☐ An oath or declaration of the inventor(s) (35 U.S.C. 371(c)(4)).
10. ☐ An English language translation of the annexes of the International Preliminary Examination Report under PCT Article 36 (35 U.S.C. 371(c)(5)).

## Items 11 to 20 below concern document(s) or information included:

11. ☐ An Information Disclosure Statement under 37 CFR 1.97 and 1.98.
12. ☐ An assignment document for recording. A separate cover sheet in compliance with 37 CFR 3.28 and 3.31 is included.
13. ☒ A **FIRST** preliminary amendment.
14. ☐ A **SECOND** or **SUBSEQUENT** preliminary amendment.
15. ☐ A substitute specification.
16. ☐ A change of power of attorney and/or address letter.
17. ☐ A computer-readable form of the sequence listing in accordance with PCT Rule 13ter.2 and 35 U.S.C. 1.821 - 1.825.
18. ☐ A second copy of the published international application under 35 U.S.C. 154(d)(4).
19. ☐ A second copy of the English language translation of the international application under 35 U.S.C. 154(d)(4).
20. ☒ Other items or information:  
Preliminary Amendment


U.S. APPLICATION NO. 10/049725 To Be Assigned INTERNATIONAL APPLICATION NO. PCT/EP00/04013 ATTORNEY'S DOCKET NUMBER Mo-6750/LeA 33,707

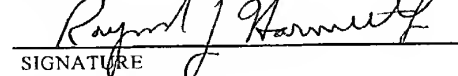
21. <input checked="" type="checkbox"/> The following fees are submitted: <b>BASIC NATIONAL FEE (37 CFR 1.492 (a) (1) - (5)):</b> Neither international preliminary examination fee (37 CFR 1.482) nor international search fee (37 CFR 1.445(a)(2)) paid to USPTO and International Search Report not prepared by the EPO or JPO. . . . . \$1040.00  International preliminary examination fee (37 CFR 1.482) not paid to USPTO but International Search Report prepared by the EPO or JPO . . . . . \$890.00  International preliminary examination fee (37 CFR 1.482) not paid to USPTO but international search fee (37 CFR 1.445(a)(2)) paid to USPTO . . . . . \$740.00  International preliminary examination fee (37 CFR 1.482) paid to USPTO but all claims did not satisfy provisions of PCT Article 33(1)-(4) . . . . . \$710.00  International preliminary examination fee (37 CFR 1.482) paid to USPTO and all claims satisfied provisions of PCT Article 33(1)-(4) . . . . . \$100.00  <b>ENTER APPROPRIATE BASIC FEE AMOUNT =</b>				<b>CALCULATIONS PTO USE ONLY</b>	
				\$	890.00
Surcharge of \$130.00 for furnishing the oath or declaration later than <input type="checkbox"/> 20 <input type="checkbox"/> 30 months from the earliest claimed priority date (37 CFR 1.492(e)).				\$	
CLAIMS	NUMBER FILED	NUMBER EXTRA	RATE	\$	
Total claims	8 - 20 =	0	x \$18.00	\$	0.00
Independent claims	1 - 3 =	0	x \$84.00	\$	0.00
MULTIPLE DEPENDENT CLAIM(S) (if applicable)			+ \$280.00	\$	0.00
<b>TOTAL OF ABOVE CALCULATIONS =</b>				\$	890.00
<input type="checkbox"/> Applicant claims small entity status. See 37 CFR 1.27. The fees indicated above are reduced by 1/2.				\$	0.00
<b>SUBTOTAL =</b>				\$	890.00
Processing fee of \$130.00 for furnishing the English translation later than <input type="checkbox"/> 20 <input type="checkbox"/> 30 months from the earliest claimed priority date (37 CFR 1.492(f)).				\$	
<b>TOTAL NATIONAL FEE =</b>				\$	
Fee for recording the enclosed assignment (37 CFR 1.21(h)). The assignment must be accompanied by an appropriate cover sheet (37 CFR 3.28, 3.31). \$40.00 per property +				\$	0.00
<b>TOTAL FEES ENCLOSED =</b>				\$	890.00
				Amount to be refunded:	\$
				charged:	\$

- a. ☐ A check in the amount of \$ \_\_\_\_\_ to cover the above fees is enclosed.
- b. ☒ Please charge my Deposit Account No. 13-3848 in the amount of \$ 890.00 to cover the above fees.  
A duplicate copy of this sheet is enclosed.
- c. ☒ The Commissioner is hereby authorized to charge any additional fees which may be required, or credit any  
overpayment to Deposit Account No. 13-3848. A duplicate copy of this sheet is enclosed.
- d. ☐ Fees are to be charged to a credit card. **WARNING:** Information on this form may become public. **Credit card  
information should not be included on this form.** Provide credit card information and authorization on PTO-2038.

**NOTE:** Where an appropriate time limit under 37 CFR 1.494 or 1.495 has not been met, a petition to revive (37 CFR 1.137 (a) or (b)) must be filed and granted to restore the application to pending status.

SEND ALL CORRESPONDENCE TO

  
**00157**  
PATENT TRADEMARK OFFICE

  
SIGNATURE  
Raymond J. Harmuth  
NAME  
33,896  
REGISTRATION NUMBER

# TRANSMITTAL LETTER TO THE UNITED STATES RECEIVING OFFICE

Date	November 7, 2001
International Application No.	PCT/EP00/04013
Attorney Docket No.	Mo-6750/LeA 33,707

10/049725

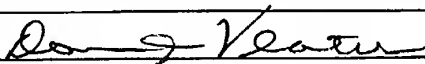
## I. Certification under 37 CFR 1.10 (if applicable)

ET700177109US
Express Mail mailing number

JCO7 Rec'd PCT/PTO 07 NOV 2001

November 7, 2001
Date of Deposit

I hereby certify that the application/correspondence attached hereto is being deposited with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 CFR 1.10 on the date indicated above and is addressed to Assistant Commissioner for Patents, Washington, D.C. 20231


Signature of person mailing correspondence

Donna J. Veatch
Typed or printed name of person mailing correspondence

## II. ☒ New International Application

TITLE	SUBSTITUTED N-CYANO AMIDINES
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Earliest priority date (Day/Mon/Year)
12 May 1999 (12.05.99)

**SCREENING DISCLOSURE INFORMATION:** In order to assist in screening the accompanying international application for purposes of determining whether a license for foreign transmittal should and could be granted and for other purposes, the following information is supplied. (Note: check as many boxes as apply):

- A. ☒ The invention disclosed was not made in the United States.
- B. ☒ There is no prior U.S. application relating to this invention.
- C. ☐ The following prior U.S. application(s) contain subject matter which is related to the invention disclosed in the attached international application. (NOTE: priority to these applications may or may not be claimed on form PCT/RO/101 (Request) and this listing does not constitute a claim for priority.)

application no.		filed on	
application no.		filed on	

- D. ☐ The present international application ☐ contains additional subject matter not found in the prior U.S. application(s) identified in paragraph C. above. The additional subject matter is found on pages  and ☐ DOES NOT ALTER ☐ MIGHT BE CONSIDERED TO ALTER the general nature of the invention in a manner which would require the U.S. application to have been made available for inspection by the appropriate defense agencies under 35 U.S.C. 181 and 37 CFR 5.1. See 37 CFR 5.15

## III. ☐ A Response to an Invitation from the RO/US. The following document(s) is(are) enclosed:

- A. ☐ A Request for An Extension of Time to File a Response
- B. ☐ A Power of Attorney (General or Regular)
- C. ☐ Replacement pages:

pages		of the request (PCT/RO/101)	pages		of the figures
pages		of the description	pages		of the abstract
pages		of the claims			

- D. ☐ Submission of Priority Documents

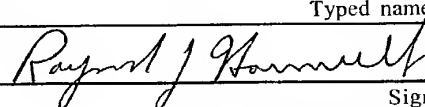
Priority document		Priority document	
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- E. ☐ Fees as specified on attached Fee Calculation sheet form PCT/RO/101 annex

## IV. ☐ A Request for Rectification under PCT 91 ☐ A Petition ☐ A Sequence Listing Diskette

## V. ☒ Other (please specify): Preliminary Amendment

The person  
signing this  
form is the:

<input type="checkbox"/> Applicant	Raymond J. Harmuth
<input checked="" type="checkbox"/> Attorney/Agent (Reg. No.) 33,896	Typed name of signer
<input type="checkbox"/> Common Representative	 Signature

PATENT APPLICATION  
Mo-6750  
LeA 33,707

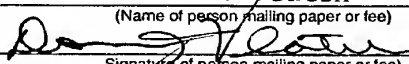
**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

APPLICATION OF )  
 )  
ERNST GESING ET AL ) PCT/EP00/04013  
 )  
SERIAL NUMBER: TO BE ASSIGNED )  
 )  
FILED: HEREWITH )  
 )  
TITLE: SUBSTITUTED N-CYANO- )  
AMIDINES )

**PRELIMINARY AMENDMENT**

Assistant Commissioner for Patents  
Washington, D.C. 20231

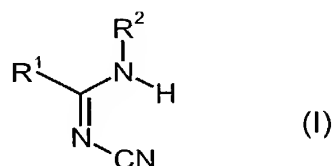
Upon the granting of a serial number and filing date and prior to the  
examination of the subject application, kindly amend the application as follows:

"Express Mail" mailing label number ET700177109US  
Date of Deposit November 7, 2001  
I hereby certify that this paper or fee is being deposited with the United States  
Postal Service "Express Mail Post Office to Addressee" service under 37 CFR  
1.10 on the date indicated above and is addressed to the Assistant Commissioner  
of Patents and Trademarks, Washington, D.C. 20231  
Donna J. Yeatch  
(Name of person mailing paper or fee)  
  
(Signature of person mailing paper or fee)

## IN THE CLAIMS:

Please amend the claims as follows. A marked up copy of the claims to show changes is attached to this Preliminary Amendment.

- (Once Amended) A substituted N-cyano-amidine compound of the Formula (I),



in which

$R^1$  represents hydrogen or represents in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl or arylalkyl and

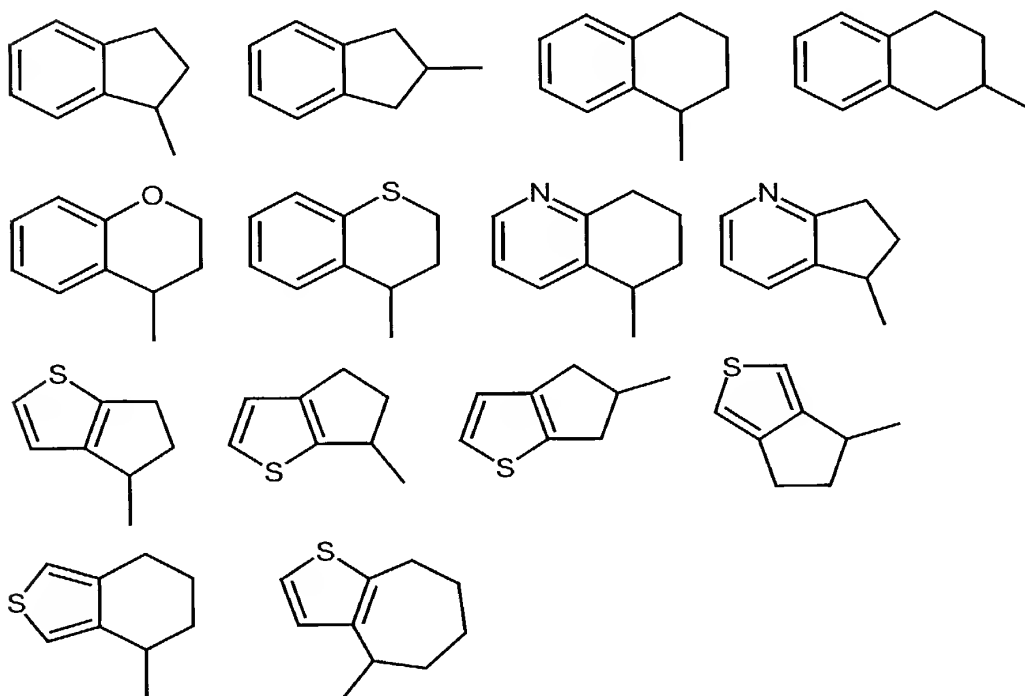
$R^2$  represents in each case benzo-fused, pyrido-fused or thieno-fused cycloalk(en)yl, oxacycloalk(en)yl or thiacycloalk(en)yl, where all cyclic and heterocyclic groupings may be substituted.

- (Once Amended) The compound according to Claim 1, wherein

$R^1$  represents hydrogen, represents optionally cyano-, halogen-,  $C_1$ - $C_4$ -alkoxy-,  $C_1$ - $C_4$ -alkylthio-,  $C_1$ - $C_4$ -alkylsulphanyl- or  $C_1$ - $C_4$ -alkylsulphonyl-substituted alkyl having 1 to 6 carbon atoms, represents in each case optionally halogen-substituted alkenyl or alkynyl having in each case 2 to 6 carbon atoms, represents in each case optionally cyano-, halogen- or  $C_1$ - $C_4$ -alkyl-substituted cycloalkyl or cycloalkylalkyl having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, or represents in each case optionally nitro-, cyano-, halogen-,  $C_1$ - $C_4$ -alkyl-,  $C_1$ - $C_4$ -halogenoalkyl-,  $C_1$ - $C_4$ -alkoxy- or  $C_1$ - $C_4$ -halogenoalkoxy-substituted aryl or

arylalkyl having in each case 6 or 10 carbon atoms in the aryl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, and

R<sup>2</sup> represents in each case benzo-fused, pyrido-fused or thieno-fused cycloalk(en)yl, oxacycloalk(en)yl or thiacycloalk(en)yl from the list below,



where all cyclic and heterocyclic groupings may preferably be substituted by one of the groupings listed below:

nitro, hydroxyl, amino, cyano, carbamoyl, thiocarbamoyl, formylamino, halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulphanyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylsulphanyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylsulphonyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino-carbonyl, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkyl-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-amino-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-sulphonyl-amino.



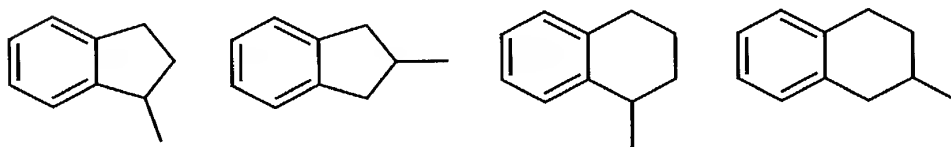
R<sup>2</sup> represents one of the cyclic and heterocyclic groupings of Claim 1, where the substituents may be selected from one of the groupings listed below:  
nitro, hydroxyl, amino, cyano, carbamoyl, thiocarbamoyl, formylamino, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, difluoromethyl, dichloromethyl, trifluoromethyl, trichloromethyl, chloro-difluoromethyl, fluorodichloromethyl, methoxy, ethoxy, n- or i-propoxy, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, fluorodichloromethoxy, methylthio, ethylthio, n- or i-propylthio, difluoromethylthio, trifluoromethylthio, chlorodifluoromethylthio, fluorodichloromethylthio, methylsulphinyl, ethylsulphinyl, trifluoromethylsulphinyl, methylsulphonyl, ethylsulphonyl, trifluoromethylsulphonyl, methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, acetyl, propionyl, n- or i-butyryl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylaminocarbonyl, ethylaminocarbonyl, n- or i-propylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, acetylamino, propionylamino, n- or i-butyrylamino, methoxycarbonylamino, ethoxycarbonylamino, n- or i-

propoxycarbonylamino, methylaminocarbonylamino, ethylaminocarbonylamino, n- or i-propylaminocarbonylamino, methylsulphonylamino, ethylsulphonylamino, n- or i-propylsulphonylamino.

4. (Once Amended) The compound according to Claim 1 wherein

R<sup>1</sup> represents hydrogen and represents in each case optionally cyano-, fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl-, ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl, and

R<sup>2</sup> represents benzo-fused cycloalk(en)yl from the list below



where all cyclic groupings may be substituted by one of the groupings listed below:

nitro, hydroxyl, amino, cyano, carbamoyl, thiocarbamoyl, formylamino, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, difluoromethyl, dichloromethyl, trifluoromethyl, trichloromethyl, chloro-difluoromethyl, fluorodichloromethyl, methoxy, ethoxy, n- or i-propoxy, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, fluorodichloromethoxy, methylthio, ethylthio, n- or i-propylthio, difluoromethylthio, trifluoromethylthio, chlorodifluoromethylthio, fluorodichloromethylthio, methylsulphinyl, ethylsulphinyl, trifluoromethylsulphinyl, methylsulphonyl, ethylsulphonyl, trifluoromethylsulphonyl, methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, acetyl, propionyl, n- or i-butyryl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylaminocarbonyl, ethylaminocarbonyl, n- or i-propylaminocarbonyl,

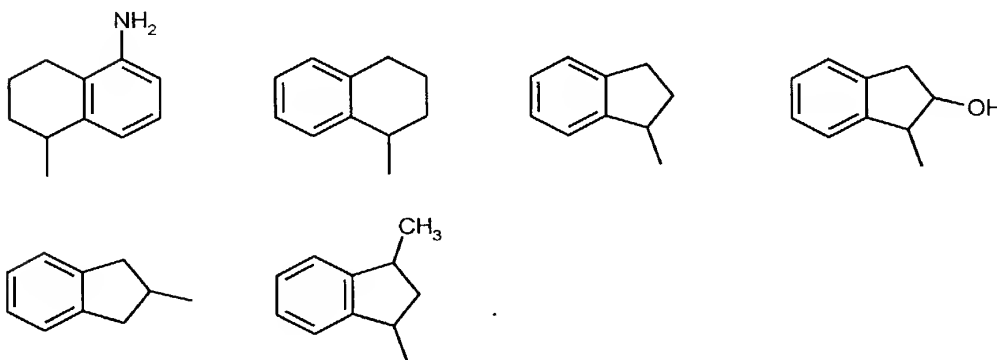


dimethylaminocarbonyl, diethylaminocarbonyl, acetylamino, propionylamino, n- or i-butyroylamino, methoxycarbonylamino, ethoxycarbonylamino, n- or i-propoxycarbonylamino, methylaminocarbonylamino, ethylaminocarbonylamino, n- or i-propylaminocarbonylamino, methylsulphonylamino, ethylsulphonylamino, n- or i-propylsulphonylamino.

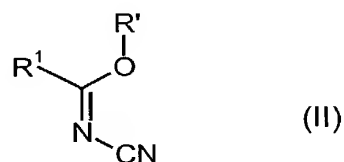
5. (Once Amended) The compound according to Claim 1 wherein

$R^1$  represents hydrogen, methyl, ethyl or n- or i-propyl, and

$R^2$  represents one of the groupings below.



6. (Once Amended) A process for preparing the compound according to Claim 1 wherein an N-cyano-imidate of the Formula (II)

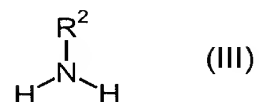


in which

$R^1$  is as defined in Claim 1 and

$R'$  represents alkyl,

is reacted with an amino compound of the Formula (III)



in which

$\text{R}^2$  is as defined in Claim 1 ,

optionally in the presence of a reaction auxiliary and optionally in the presence of a diluent.

7. (Once Amended) An herbicidal composition, comprising at least one compound according to Claim 1 and an extender.
8. (Once Amended) A method for controlling undesirable plants comprising the step of applying an effective amount of the compound according to Claim 1 to a member selected from the group consisting of said plant, an habitat of said plant and combinations thereof.

REMARKS

This amendment is made to place the claims in conformance with U.S. patent practice. This amendment is not in derogation of any prior art, and Applicant respectfully asserts that it is entitled to the claims as amended and any equivalents thereof.

Respectfully submitted,

By Raymond J. Harmuth  
Raymond J. Harmuth  
Attorney for Applicants  
Reg. No. 33,896

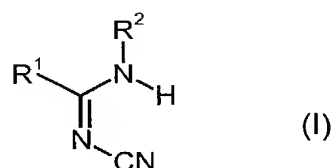
Bayer Corporation  
100 Bayer Road  
Pittsburgh, Pennsylvania 15205-9741  
(412) 777-8366  
FACSIMILE PHONE NUMBER:  
(412) 777-8363

s:/sr/rjh0035

## Version Marked to Show Changes

Claims 1-8 have been amended as follows:

1. (Once Amended) A substituted N-cyano-amidines compound of the general Formula (I),



in which

$\text{R}^1$  represents hydrogen or represents in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl or arylalkyl and

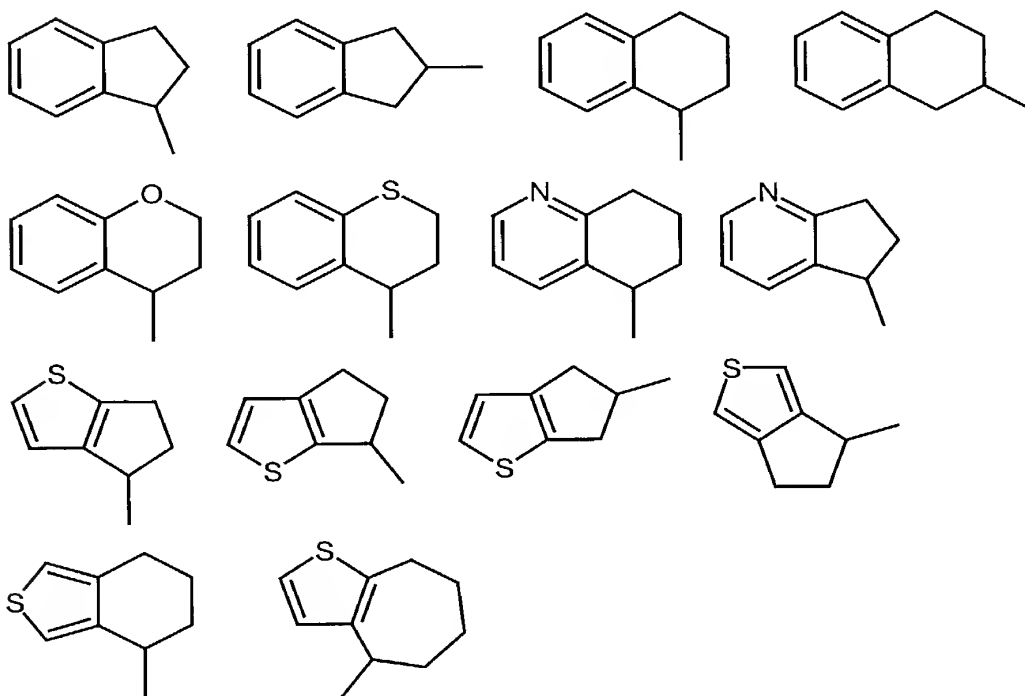
$\text{R}^2$  represents in each case benzo-fused, pyrido-fused or thieno-fused cycloalk(en)yl, oxacycloalk(en)yl or thiacycloalk(en)yl, where all cyclic and heterocyclic groupings may be substituted.

2. (Once Amended) The compounds according to Claim 1, ~~characterized in that~~ wherein

$\text{R}^1$  represents hydrogen, represents optionally cyano-, halogen-,  $\text{C}_1$ - $\text{C}_4$ -alkoxy-,  $\text{C}_1$ - $\text{C}_4$ -alkylthio-,  $\text{C}_1$ - $\text{C}_4$ -alkylsulphinyl- or  $\text{C}_1$ - $\text{C}_4$ -alkylsulphonyl-substituted alkyl having 1 to 6 carbon atoms, represents in each case optionally halogen-substituted alkenyl or alkynyl having in each case 2 to 6 carbon atoms, represents in each case optionally cyano-, halogen- or  $\text{C}_1$ - $\text{C}_4$ -alkyl-substituted cycloalkyl or cycloalkylalkyl having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, or represents in each case optionally nitro-, cyano-, halogen-,  $\text{C}_1$ - $\text{C}_4$ -alkyl-,  $\text{C}_1$ - $\text{C}_4$ -halogenoalkyl-,  $\text{C}_1$ - $\text{C}_4$ -alkoxy- or  $\text{C}_1$ - $\text{C}_4$ -halogenoalkoxy-substituted aryl or

arylalkyl having in each case 6 or 10 carbon atoms in the aryl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, and

R<sup>2</sup> represents in each case benzo-fused, pyrido-fused or thieno-fused cycloalk(en)yl, oxacycloalk(en)yl or thiacycloalk(en)yl from the list below,



where all cyclic and heterocyclic groupings may preferably be substituted by one of the groupings listed below:

nitro, hydroxyl, amino, cyano, carbamoyl, thiocarbamoyl, formylamino, halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylsulphinyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylsulphonyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino-carbonyl, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkyl-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-amino-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-sulphonyl-amino.

3. (Once Amended) ~~The Compounds~~ according to Claim 1 ~~or 2~~, characterized ~~in that~~ wherein

R<sup>1</sup> represents hydrogen, represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each case optionally fluorine-, chlorine- or bromine-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl or butinyl, represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl, or represents in each case optionally nitro-, cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or benzyl, and

R<sup>2</sup> represents one of the cyclic and heterocyclic groupings ~~mentioned in~~ of Claim 1 ~~or 2~~, where the substituents may be selected from one of the groupings listed below:

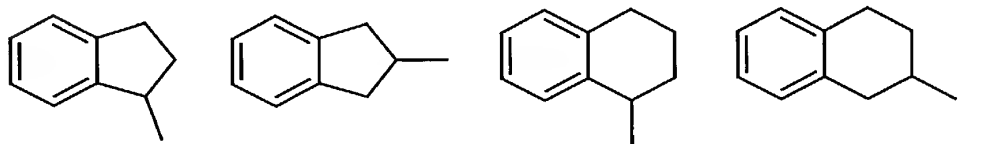
nitro, hydroxyl, amino, cyano, carbamoyl, thiocarbamoyl, formylamino, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, difluoromethyl, dichloromethyl, trifluoromethyl, trichloromethyl, chloro-difluoromethyl, fluorodichloromethyl, methoxy, ethoxy, n- or i-propoxy, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, fluorodichloromethoxy, methylthio, ethylthio, n- or i-propylthio, difluoromethylthio, trifluoromethylthio, chlorodifluoromethylthio, fluorodichloromethylthio, methylsulphinyl, ethylsulphinyl, trifluoromethylsulphinyl, methylsulphonyl, ethylsulphonyl, trifluoromethylsulphonyl, methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylaminocarbonyl, ethylaminocarbonyl, n- or i-propylaminocarbonyl,

dimethylaminocarbonyl, diethylaminocarbonyl, acetylamino, propionylamino, n- or i-butyroylamino, methoxycarbonylamino, ethoxycarbonylamino, n- or i-propoxycarbonylamino, methylaminocarbonylamino, ethylaminocarbonylamino, n- or i-propylaminocarbonylamino, methylsulphonylamino, ethylsulphonylamino, n- or i-propylsulphonylamino.

4. (Once Amended) ~~The Compounds~~ according to ~~any of Claims 1 to 3,~~  
characterized in that wherein

R<sup>1</sup> represents hydrogen and represents in each case optionally cyano-, fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl-, ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl, and

R<sup>2</sup> represents benzo-fused cycloalk(en)yl from the list below



where all cyclic groupings may be substituted by one of the groupings listed below:

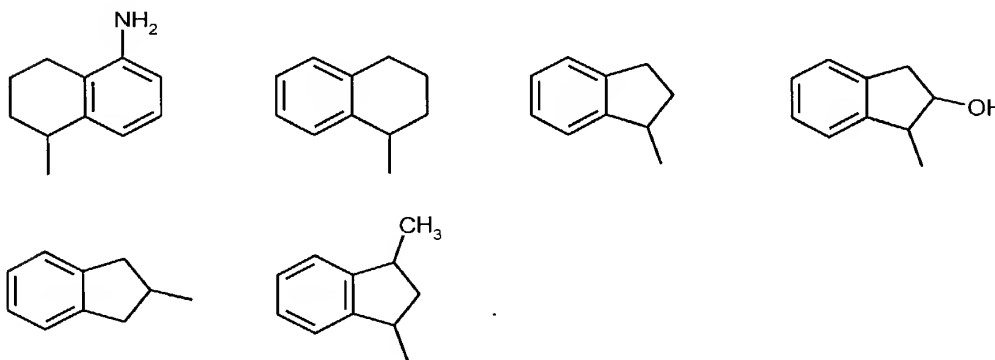
nitro, hydroxyl, amino, cyano, carbamoyl, thiocarbamoyl, formylamino, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, difluoromethyl, dichloromethyl, trifluoromethyl, trichloromethyl, chloro-difluoromethyl, fluorodichloromethyl, methoxy, ethoxy, n- or i-propoxy, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, fluorodichloromethoxy, methylthio, ethylthio, n- or i-propylthio, difluoromethylthio, trifluoromethylthio, chlorodifluoromethylthio, fluorodichloromethylthio, methylsulphinyl, ethylsulphinyl, trifluoromethylsulphinyl, methylsulphonyl, ethylsulphonyl, trifluoromethylsulphonyl, methylamino, ethylamino, n- or i-

propylamino, dimethylamino, diethylamino, acetyl, propionyl, n- or i-butyryl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylaminocarbonyl, ethylaminocarbonyl, n- or i-propylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, acetylamino, propionylamino, n- or i-butyrylamino, methoxycarbonylamino, ethoxycarbonylamino, n- or i-propoxycarbonylamino, methylaminocarbonylamino, ethylaminocarbonylamino, n- or i-propylaminocarbonylamino, methylsulphonylamino, ethylsulphonylamino, n- or i-propylsulphonylamino.

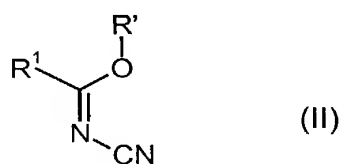
5. (Once Amended) The Compounds according to ~~any of Claims 1 to 4,~~ characterized in that wherein

R<sup>1</sup> represents hydrogen, methyl, ethyl or n- or i-propyl, and

R<sup>2</sup> represents one of the groupings below.



6. (Once Amended) A Process for preparing the compounds according to ~~any of Claims 1 to 5, characterized in that~~ wherein an N-cyano-imidates of the ~~general~~ Formula (II)



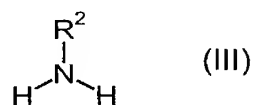


in which

$R^1$  is as defined in ~~any of Claims 1 to 5~~ and

$R'$  represents alkyl,

~~are~~ is reacted with an amino compounds of the general ~~f~~Formula (III)



in which

$R^2$  is as defined in ~~any of Claims 1 to 5~~,

~~if appropriate~~ optionally in the presence of a reaction auxiliary and ~~if appropriate~~ optionally in the presence of a diluent.

7. (Once Amended) ~~An H~~herbicidal compositions, ~~characterized in that they~~ comprise comprising at least one compound according to ~~any of Claims 1 to 5~~ and ~~customary~~ an extenders.
8. (Once Amended) ~~Use of at least one compound~~ A method for controlling undesirable plants comprising the step of applying an effective amount of the compound according to any of Claims 1 to 5 for controlling undesirable plants a member selected from the group consisting of said plant, an habitat of said plant and combinations thereof.

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 [Signature]  
 Signature of person mailing paper or fee)

**Substituted N-cyano-amidines**

JC18 Rec'd PCT/PTO 07 NOV 2001

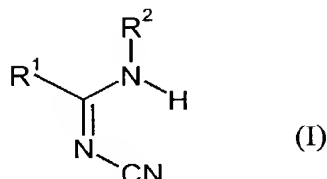
The invention relates to novel substituted N-cyano-amidines, to a process for their preparation and to their use as herbicides.

5

It is already known that certain substituted N-cyano-guanidines have herbicidal properties (cf. DE-A-2505301, US-A-4661520, US-A-4684398, US-A-4689348, J. Agric. Food Chem. 37 (1989), 809-814). However, various aspects of the properties of the substituted N-cyano-guanidines of the prior art do not meet the high requirements for modern crop treatment agents.

10

This invention now provides the novel substituted N-cyano-amidines of the general formula (I),



15 in which

R<sup>1</sup> represents hydrogen or represents in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl or arylalkyl and

20 R<sup>2</sup> represents in each case benzo-fused, pyrido-fused or thieno-fused cycloalk(en)yl, oxacycloalk(en)yl or thiacycloalk(en)yl, where all cyclic and heterocyclic groupings may be substituted.

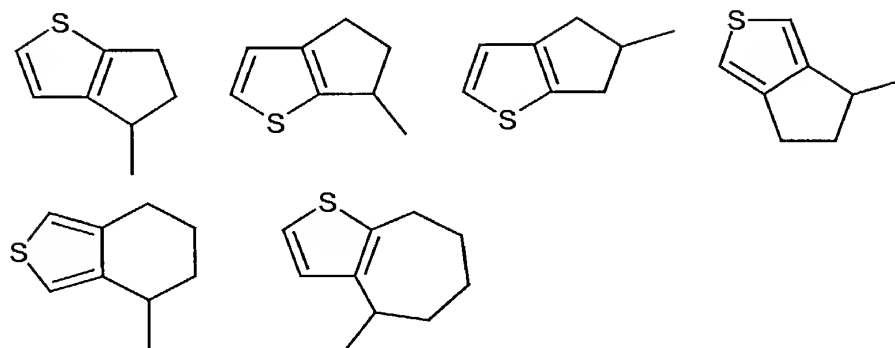
The general formula (I) includes the E and Z configuration isomers which are possible in each case.

25

In the definitions, the hydrocarbon chains, such as alkyl, alkenyl or alkynyl, are in each case straight-chain or branched.



- 3 -



where all cyclic and heterocyclic groupings may preferably be substituted by one of the groupings listed below:

nitro, hydroxyl, amino, cyano, carbamoyl, thiocarbamoyl, formylamino, halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylsulphinyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylsulphonyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino-carbonyl, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkyl-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-amino-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-sulphonyl-amino.

R<sup>1</sup> particularly preferably represents hydrogen, represents in each case optionally cyano-, fluorine, chlorine-, bromine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each case optionally fluorine-, chlorine- or bromine-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl or butinyl, represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl, or represents in each case optionally nitro-, cyano-, fluorine-, chlorine-, bro-

- 4 -

mine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or benzyl.

5         $R^2$         particularly preferably represents one of the cyclic and heterocyclic groupings mentioned above, where the substituents may be selected from one of the groupings listed below:

10        nitro, hydroxyl, amino, cyano, carbomoyl, thiocarbomoyl, formylamino, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, difluoromethyl, dichloromethyl, trifluoromethyl, trichloromethyl, chlorodifluoromethyl, fluorodichloromethyl, methoxy, ethoxy, n- or i-propoxy, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, fluorodichloromethoxy, methylthio, ethylthio, n- or i-propylthio, difluoromethylthio, trifluoromethylthio, chlorodifluoromethylthio, fluorodichloromethylthio, methylsulphinyl, ethylsulphinyl, trifluoromethylsulphinyl, methylsulphonyl, ethylsulphonyl, trifluoromethylsulphonyl, methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, acetyl, propionyl, n- or i-butyryl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylaminocarbonyl, ethylaminocarbonyl, n- or i-propylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, acetylamino, propionylamino, n- or i-butyrylamino, methoxycarbonylamino, ethoxycarbonylamino n- or i-propoxycarbonylamino, methylaminocarbonylamino, ethylaminocarbonylamino, n- or i-propylaminocarbonylamino, methylsulphonylamino, ethylsulphonylamino, n-or i-propylsulphonylamino.

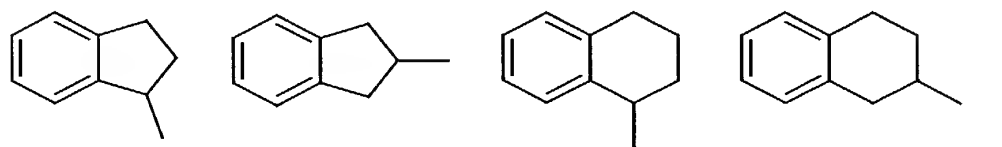
15       

20       

25       

30         $R^1$         very particularly preferably represents hydrogen and represents in each case optionally cyano-, fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl-, ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl.

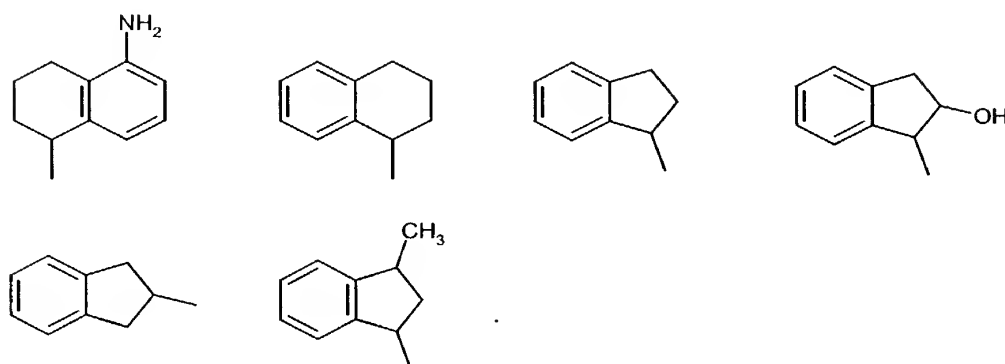
R<sup>2</sup> very particularly preferably represents benzo-fused cycloalk(en)yl from the list above



5 where all cyclic groupings may be substituted by one of the groupings listed below:  
[lacuna]

R<sup>1</sup> most preferably represents hydrogen, methyl, ethyl of n- or i-propyl.

10  $R^2$  most preferably represents one of the groupings below:



15 Preference according to the invention is given to the compounds of the formula (I) which contain a combination of the meanings listed above as being preferred.

Particular preference according to the invention is given to the compounds of the formula (I) which contain a combination of the meanings listed above as being particularly preferred.

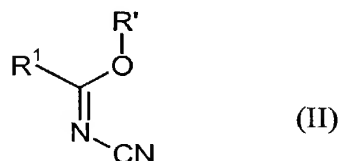
Very particular preference according to the invention is given to the compounds of the formula (I) which contain a combination of the meanings listed above as being very particularly preferred.

- 5 Most preference according to the invention is given to the compounds of the formula (I) which contain a combination of the meanings listed above as being most preferred.

The abovementioned general or preferred radical definitions apply both to the end products of the formula (I) and, correspondingly, to the starting materials or intermediates required in each case for the preparation. These radical definitions can be combined with one another as desired, i.e. including combinations between the given preferred ranges.

The novel substituted N-cyano-amidines of the general formula (I) have interesting biological properties. In particular, they have strong herbicidal activities.

The novel substituted N-cyano-amidines of the general formula (I) are obtained when N-cyano-imidates of the general formula (II)



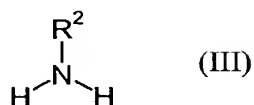
in which

R<sup>1</sup> is as defined above and

25 R' represents alkyl

are reacted with amino compounds of the general formula (III)

- 7 -



in which

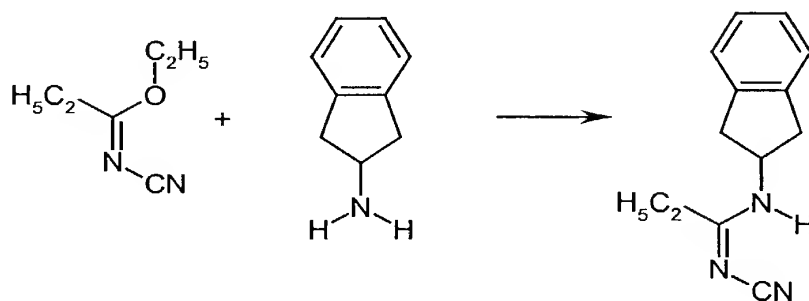
$\text{R}^2$  is as defined above,

5

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent.

10

Using, for example, ethyl N-cyano-propionimide and indan-2-yl-amine as starting materials, the course of the reaction in the process according to the invention can be illustrated by the formula scheme below:



15

The formula (II) provides a general definition of the N-cyano-imidates to be used as starting materials in the process according to the invention for preparing compounds of the general formula (I). In the general formula (II),  $\text{R}^1$  preferably has the meaning which has already been mentioned above, in connection with the description of the compounds of the general formula (I) according to the invention, as being preferred, particularly preferred, very particularly preferred or most preferred for  $\text{R}^1$ ;  $\text{R}^1$  preferably represents alkyl having 1 to 4 carbon atoms, in particular methyl or ethyl.

20

The N-cyano-imidates of the general formula (II) are known and/or can be prepared by processes known per se (cf. J. Am. Chem. Soc. 104 (1982), 235-239; loc. cit. 106



(1984), 2805-2811; J. Org. Chem. 28 (1963), 1816-1821; loc. cit. 46 (1981), 1457-1465; Synthesis 1983, 402-404; Tetrahedron Lett. 21 (1980), 909-912).

The formula (III) provides a general definition of the amino compounds further to be used as starting materials in the process according to the invention for preparing compounds of the general formula (I). In the general formula (III),  $R^2$  preferably has that meaning which has already been mentioned above, in connection with the description of the compounds of the general formula (I) according to the invention, as being preferred, particularly preferred, very particularly preferred or most preferred for  $R^2$ .

The amino compounds of the general formula (III) are known and/or can be prepared by processes known per se (cf. J. Am. Chem. Soc. 88 (1966), 2233-2240; loc. cit. 95 (1973), 4083-4084; J. Chem. Soc. C 1966, 717-722; Synthesis 1980, 695-697; Tetrahedron 24 (1968), 3681-3696; loc. cit. 50 (1994), 3627-3638).

The process according to the invention for preparing compounds of the general formula (I) is, if appropriate, carried out using a reaction auxiliary. Reaction auxiliaries suitable for the process according to the invention are, in general, the customary inorganic or organic bases or acidic acceptors. These preferably include alkali metal or alkaline earth metal acetates, amides, carbonates, bicarbonates, hydrides, hydroxides or alkoxides, such as, for example, sodium acetate, potassium acetate or calcium acetate, lithium amide, sodium amide, potassium amide or calcium amide, sodium carbonate, potassium carbonate or calcium carbonate, sodium bicarbonate, potassium bicarbonate or calcium bicarbonate, lithium hydride, sodium hydride, potassium hydride or calcium hydride, lithium hydroxide, sodium hydroxide, potassium hydroxide or calcium hydroxide, sodium methoxide, ethoxide, n- or i-propoxide, n-, i-, s- or t-butoxide or potassium methoxide, ethoxide, n- or i-propoxide, n-, i-, s- or t-butoxide; furthermore also basic organic nitrogen compounds, such as, for example, trimethylamine, triethylamine, tripropylamine, tributylamine, ethyl-diisopropylamine, N,N-dimethyl-cyclohexylamine, dicyclohexylamine, ethyl-dicyclohexylamine, N,N-dimethyl-aniline, N,N-dimethyl-benzylamine, pyridine, 2-methyl-, 3-methyl-, 4-methyl-

, 2,4-dimethyl-, 2,6-dimethyl-, 3,4-dimethyl- and 3,5-dimethyl-pyridine, 5-ethyl-2-methyl-pyridine, 4-dimethylamino-pyridine, N-methyl-piperidine, 1,4-diazabicyclo[2,2,2]-octane (DABCO), 1,5-diazabicyclo[4,3,0]-non-5-ene (DBN), or 1,8-diazabicyclo[5,4,0]-undec-7-ene (DBU).

5

In most cases, the use of one of the reaction auxiliaries mentioned above can be dispensed with.

10 The process according to the invention for preparing compounds of the general formula (I) is preferably carried out using a diluent. Suitable diluents are, in addition to water, especially inert organic solvents. These include, in particular, aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons, such as, for example, benzene, toluene, xylene, chlorobenzene, dichlorobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, chloroform, carbon tetrachloride; ethers, such as  
15 diethyl ether, diisopropyl ether, dioxane, tetrahydrofuran or ethylene glycol dimethyl ether or ethylene glycol diethyl ether; ketones, such as acetone, butanone or methyl isobutyl ketone, nitriles, such as acetonitrile, propionitrile or butyronitrile; amides, such as N,N-dimethylformamide, N-dimethylacetamide, N-methyl-formanilide, N-methyl-pyrrolidone or hexamethylphosphoric triamide; esters such as methyl acetate  
20 or ethyl acetate, sulphoxides such as dimethylsulphoxide, alcohols, such as methanol, ethanol, n- or i-propanol, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, diethylene glycol monomethyl ether, diethylene glycol monoethyl ether, mixtures thereof with water or pure water.

25 When carrying out the process according to the invention, the reaction temperatures can be varied within a relatively wide range. In general, the process is carried out at temperatures between 0°C and 150°C, preferably between 10°C and 120°C.

30 The process according to the invention is generally carried out under atmospheric pressure. However, it is also possible to carry out the process according to the invention under elevated or reduced pressure - in general between 0.1 bar and 10 bar.

For carrying out the process according to the invention, the starting materials are generally employed in approximately equimolar amounts. However, it is also possible to use a relatively large excess of in each case one of the components. The reaction is generally carried out in a suitable diluent in the presence of a reaction auxiliary, if appropriate, and the reaction mixture is generally stirred at the required temperature for a plurality of hours. Work-up is carried out by customary methods (cf. the Preparation Examples).

The active compounds according to the invention can be used as defoliants, desiccants, haulm killers and, especially, as weed killers. By weeds in the broadest sense there are to be understood all plants which grow in locations where they are undesired. Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount used. The active compounds according to the invention can be used, for example, in connection with the following plants:

Dicotyledonous weeds of the genera: Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, Xanthium, Convolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus, Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium, Veronica, Abutilon, Emex, Datura, Viola, Galeopsis, Papaver, Centaurea, Trifolium, Ranunculus, Taraxacum.

Dicotyledonous crops of the genera: Gossypium, Glycine, Beta, Daucus, Phaseolus, Pisum, Solanum, Linum, Ipomoea, Vicia, Nicotiana, Lycopersicon, Arachis, Brassica, Lactuca, Cucumis, Cucurbita.

Monocotyledonous weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, Sorghum, Agropyron, Cynodon, Monochoria, Fimbristylis, Sagittaria, Eleocharis, Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus, Apera, Aegilops, Phalaris.

Depending on the concentration, the active compounds according to the invention are suitable for total weed control, for example on industrial terrain and railway tracks and on paths and areas with or without tree growth. Equally, the active compounds according to the invention can be employed for controlling weeds in perennial crops, for example forests, ornamental tree plantings, orchards, vineyards, citrus groves, nut orchards, banana plantations, coffee plantations, tea plantations, rubber plantations, oil palm plantations, cocoa plantations, soft fruit plantings and hop fields, on lawns and turf and pastures and for selective weed control in annual crops.

The active compounds can be converted into the customary formulations, such as solutions, emulsions, wettable powders, suspensions, powders, dusts, pastes, soluble  
25 powders, granules, suspo-emulsion concentrates, natural and synthetic substances impregnated with active compound, and microencapsulations in polymeric substances.

These formulations are produced in a known manner, for example by mixing the active compounds with extenders, that is to say liquid solvents and/or solid carriers, optionally with the use of surfactants, that is to say emulsifiers and/or dispersants and/or foam formers.

If the extender used is water, it is also possible to use, for example, organic solvents as auxiliary solvents. Liquid solvents which are suitable are mainly: aromatics, such as xylene, toluene or alkyl naphthalenes, chlorinated aromatics and chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example petroleum fractions, mineral and vegetable oils, alcohols, such as butanol or glycol, and also their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents, such as dimethylformamide and dimethyl sulphoxide, and water.

Suitable solid carriers are for example ammonium salts and ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as finely divided silica, alumina and silicates; suitable solid carriers for granules are for example crushed and fractionated natural rocks, such as calcite, marble, pumice, sepiolite, dolomite and synthetic granules of inorganic and organic meals, and granules of organic material, such as sawdust, coconut shells, maize cobs and tobacco stalks; suitable emulsifiers and/or foam formers are for example nonionic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates and protein hydrolysates; suitable dispersants are for example liginosulphite waste liquors and methylcellulose.

Tackifiers, such as carboxymethylcellulose, natural and synthetic polymers in the form of powders, granules or latices, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, and also natural phospholipids, such as cephalins and lecithins and synthetic phospholipids can be used in the formulations. Other possible additives are mineral and vegetable oils.

It is possible to use colorants, such as inorganic pigments, for example iron oxide, titanium oxide, Prussian blue, and organic dyestuffs, such as alizarin dyestuffs, azo dyestuffs and metal phthalocyanine dyestuffs, and trace nutrients, such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

5

The formulations generally comprise between 0.1 and 95 per cent by weight of active compound, preferably between 0.5 and 90%.

For controlling weeds, the active compounds according to the invention, as such or in the form of their formulations, can also be used as mixtures with known herbicides, finished formulations or tank mixes being possible.

Possible components for the mixtures are known herbicides, for example acetochlor, acifluorfen(-sodium), aclonifen, alachlor, alloxymid(-sodium), ametryne, 15 amidochlor, amidosulphuron, anilofos, asulam, atrazine, azafenidin, azimsulphuron, benazolin(-ethyl), benfuresate, bensulphuron(-methyl), bentazone, benzobicyclon, benzofenap, benzoylprop(-ethyl), bialaphos, bifenox, bispyribac(-sodium), bromo- butide, bromofenoxim, bromoxynil, butachlor, butroxydim, butylate, cafenstrole, caloxydim, carbetamide, carfentrazone(-ethyl), chlomethoxyfen, chloramben, chlor- 20 idazon, chlorimuron(-ethyl), chlornitrofen, chlorsulphuron, chlorotoluron, cinidon- (-ethyl), cinmethylin, cinosulphuron, clefoxydim, clethodim, clodinafop(-propargyl), clomazone, clomeprop, clopyralid, clopyrasulphuron(-methyl), cloransulam(-methyl), cumyluron, cyanazine, cybutryne, cycloate, cyclosulphamuron, cycloxydim, cyhalo- fop(-butyl), 2,4-D, 2,4-DB, 2,4-DP, desmedipham, diallate, dicamba, diclofop(- 25 methyl), diclosulam, diethatyl(-ethyl), difenzoquat, diflufenican, diflufenzopyr, dime- furon, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dimexyflam, dinitramine, diphenamid, diquat, dithiopyr, diuron, dymron, epropodan, EPTC, espro- carb, ethalfluralin, ethametsulphuron(-methyl), ethofumesate, ethoxyfen, ethoxysul- phuron, etobenzanid, fenoxaprop(-P-ethyl), fentrazamide, flamprop(-isopropyl), flam- 30 prop(-isopropyl-L), flamprop(-methyl), flazasulphuron, florasulam, fluazi- fop(-P-butyl), fluazolate, flucarbazone, flufenacet, flumetsulam, flumiclorac(-pentyl),

flumioxazin, flumipropyn, flumetsulam, fluometuron, fluorchloridone, fluoroglyco-  
 fen(-ethyl), flupoxam, flupropacil, flurpyrsulphuron(-methyl, -sodium),  
 flurenol(-butyl), fluridone, fluroxypyr(-methyl), flurprimidol, flurtamone, fluthi-  
 acet(-methyl), fluthiamide, fomesafen, glufosinate(-ammonium), glypho-  
 5 sate(-isopropylammonium), halosafen, haloxyfop(-ethoxyethyl), haloxy-  
 fop(-P-methyl), hexazinone, imazamethabenz(-methyl), imazamethapyr, imazamox,  
 imazapic, imazapyr, imazaquin, imazethapyr, imazosulphuron, iodosulphuron(-  
 methyl, -sodium), ioxynil, isopropalin, isoproturon, isouron, isoxaben, isoxachlortole,  
 isoxaflutole, isoxapyrifop, lactofen, lenacil, linuron, MCPA, MCPP, mefenacet,  
 10 mesotrione, metamitron, metazachlor, methabenzthiazuron, metobenzuron, meto-  
 bromuron, (alpha-)metolachlor, metosulam, metoxuron, metribuzin, metsul-  
 phuron(-methyl), molinate, monolinuron, naproanilide, napropamide, neburon, nico-  
 sulphuron, norflurazon, orbencarb, oryzalin, oxadiargyl, oxadiazon, oxasulphuron,  
 oxaziclomefone, oxyfluorfen, paraquat, pelargonic acid, pendimethalin, pendralin,  
 15 pentoxazone, phenmedipham, piperophos, pretilachlor, primisulphuron(-methyl),  
 prometryn, propachlor, propanil, propaquizafop, propisochlor, propyzamide, prosul-  
 phocarb, prosulphuron, pyraflufen(-ethyl), pyrazolate, pyrazosulphuron(-ethyl),  
 pyrazoxyfen, pyribenzoxim, pyributicarb, pyridate, pyriminobac(-methyl), pyrithio-  
 bac(-sodium), quinchlorac, quinmerac, quinclamine, quizalofop(-P-ethyl), quizalo-  
 20 fop(-P-tefuryl), rimsulphuron, sethoxydim, simazine, simetryn, sulcotrione, sulphen-  
 trazone, sulphometuron(-methyl), sulphosate, sulphosulphuron, tebutam, tebuthiuron,  
 tepraloxym, terbuthylazine, terbutryn, thenylchlor, thiafluamide, thiazopyr, thidi-  
 azimin, thifensulphuron(-methyl), thiobencarb, tiocarbamil, tralkoxydim, triallate,  
 triasulphuron, tribenuron(-methyl), triclopyr, tridiphane, trifluralin and tri-  
 25 flusulphuron.

A mixture with other known active compounds, such as fungicides, insecticides, acar-  
 icides, nematicides, bird repellents, plant nutrients and agents which improve soil  
 structure, is also possible.

- 15 -

The active compounds can be used as such, in the form of their formulations or in the use forms prepared therefrom by further dilution, such as ready-to-use solutions, suspensions, emulsions, powders, pastes and granules. They are used in the customary manner, for example by watering, spraying, atomizing, scattering.

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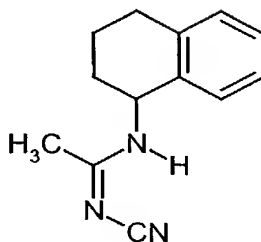
The active compounds according to the invention can be applied both before and after the emergence of the plants. They can also be incorporated into the soil before sowing.

10 The amount of active compound used can vary within a relatively wide range. It depends essentially on the nature of the desired effect. In general, the amounts used are between 1 g and 10 kg of active compound per hectare of soil surface, preferably between 5 g and 5 kg per ha.

15 The preparation and the use of the active compounds according to the invention can be seen from the examples below.



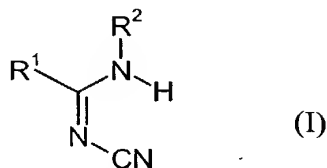
### Example 1



A mixture of 0.98 g (10 mmol) of methyl N-cyano-ethaneimidate, 1.42 g (10 mmol) of 1,2,3,4-tetrahydro-1-naphthylamine and 20 ml of water is stirred at room temperature (about 20°C) for 12 hours. The resulting crystalline product is then isolated by filtration with suction, washed with a little water and diethyl ether and dried on a disc made of clay.

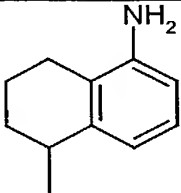
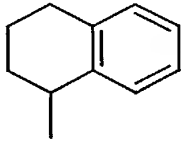
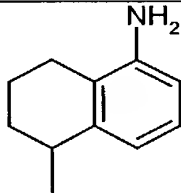
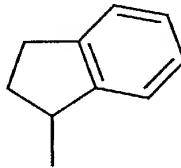
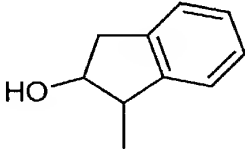
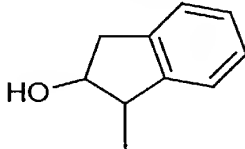
This gives 1.3 g (62% of theory) of N'-cyano-N-(1,2,3,4-tetrahydro-1-naphthyl)-ethaneimideamide of melting point 113°C.

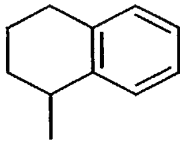
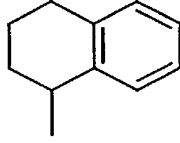
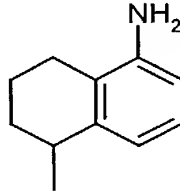
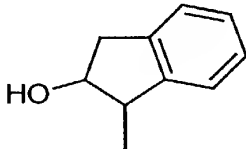
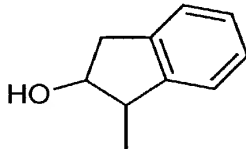
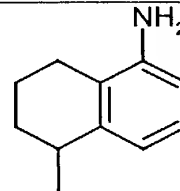
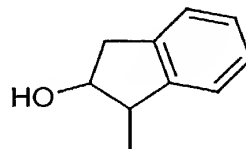
15 Analogously to Example 1, and in accordance with the general description of the preparation process according to the invention, it is also possible to prepare, for example, the compounds of the general formula (I) listed in Table 1 below.

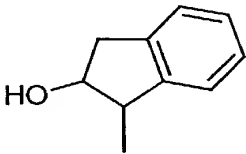
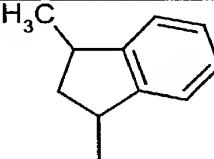
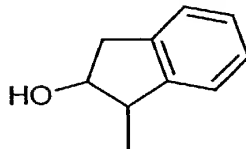
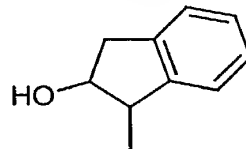
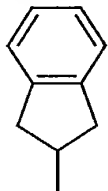
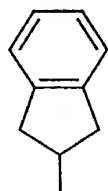


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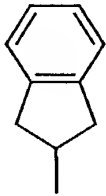
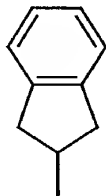
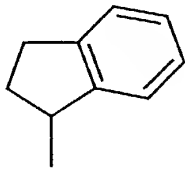
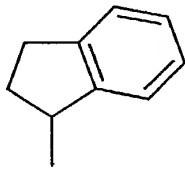
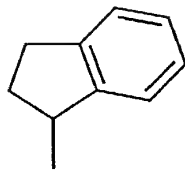
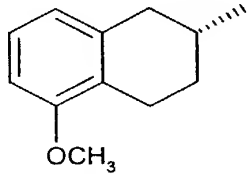
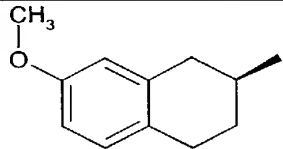
**Table 1:** Examples of compounds of the formula (I)

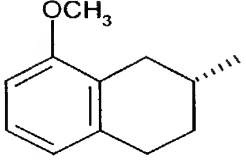
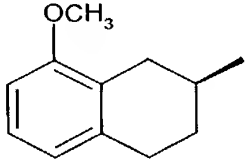
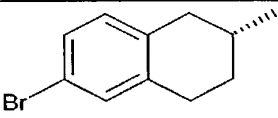
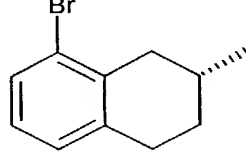
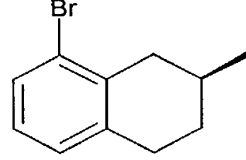
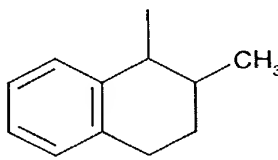
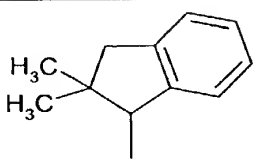
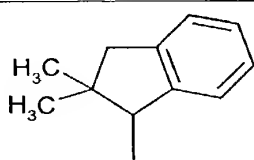
Ex. No.	R <sup>1</sup>	R <sup>2</sup>	Melting point (°C)
2	H		162°C
3	H		148
4	CH <sub>3</sub>		180
5	CH <sub>3</sub>		139
6	CH <sub>3</sub>	 (1R,2S)	176
7	CH <sub>3</sub>	 (1S,2R)	173

Ex. No.	R <sup>1</sup>	R <sup>2</sup>	Melting point (°C)
8	C <sub>2</sub> H <sub>5</sub>		117
9	C <sub>3</sub> H <sub>7-n</sub>		149
10	C <sub>2</sub> H <sub>5</sub>		203
11	C <sub>2</sub> H <sub>5</sub>	 (1R,2S)	140
12	C <sub>2</sub> H <sub>5</sub>	 (1S,2R)	141
13	C <sub>3</sub> H <sub>7-n</sub>		194
14	C <sub>3</sub> H <sub>7-n</sub>	 (1R,2S)	(amorphous)

Ex. No.	R <sup>1</sup>	R <sup>2</sup>	Melting point (°C)
15	C <sub>3</sub> H <sub>7</sub> -n	 (1S,2R)	(amorphous)
16	H	 H <sub>3</sub> C	223
17	H	 (1R,2S)	149
18	H	 (1S,2R)	156
19	H		136
20	CH <sub>3</sub>		206

- 20 -

Ex. No.	R <sup>1</sup>	R <sup>2</sup>	Melting point (°C)
21	C <sub>2</sub> H <sub>5</sub>		150
22	C <sub>3</sub> H <sub>7-n</sub>		126
23	H		105
24	C <sub>2</sub> H <sub>5</sub>		133
25	C <sub>3</sub> H <sub>7-n</sub>		84
26	CH <sub>3</sub>		
27	CH <sub>3</sub>		152

Ex. No.	R <sup>1</sup>	R <sup>2</sup>	Melting point (°C)
28	CH <sub>3</sub>		144
29	CH <sub>3</sub>		142
30	CH <sub>3</sub>		228
31	CH <sub>3</sub>		205
32	CH <sub>3</sub>		204
33	CH <sub>3</sub>		
34	CH <sub>3</sub>		
35	H		

**Use Examples:**

### Example A

## 5 Pre-emergence Test

Solvent: 5 parts by weight of acetone

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10 To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

Seeds of the test plants are sown in normal soil. After about 24 hours, the soil is sprayed with the preparation of active compound such that the particular amount of active compound desired is applied per unit area. The concentration of the spray liquor is chosen such that the particular amount of active compound desired is applied in 1000 litres of water per hectare.

20 After three weeks, the degree of damage to the plant is rated in % damage in comparison to the development of the untreated control.

The figures denote:

25            0%    =    no effect (like untreated control)  
                  100% =    total destruction

In this test, for example, the compound of Preparation Example 1 shows strong activity against weeds.

**Example B**

Post-emergence Test

- 5      Solvent:          5 parts by weight of acetone  
Emulsifier:      1 part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier  
10      is added and the concentrate is diluted with water to the desired concentration.

Test plants of a height of 5 - 15 cm are sprayed with the preparation of active compound such that the particular amounts of active compound desired are applied per unit area. The concentration of the spray liquor is chosen such that the particular  
15      amounts of active compound desired are applied in 1000 l of water/ha.

After three weeks, the degree of damage to the plants is rated in % damage in comparison to the development of the untreated control.

20      The figures denote:

0% = no effect (like untreated control)

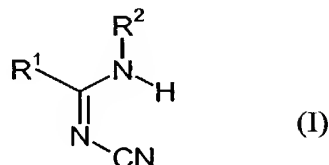
100% = total destruction

25      In this test, for example, the compound of Preparation Example 1 shows strong activity against weeds.



**Patent Claims**

1. Substituted N-cyano-amidines of the general formula (I),



in which

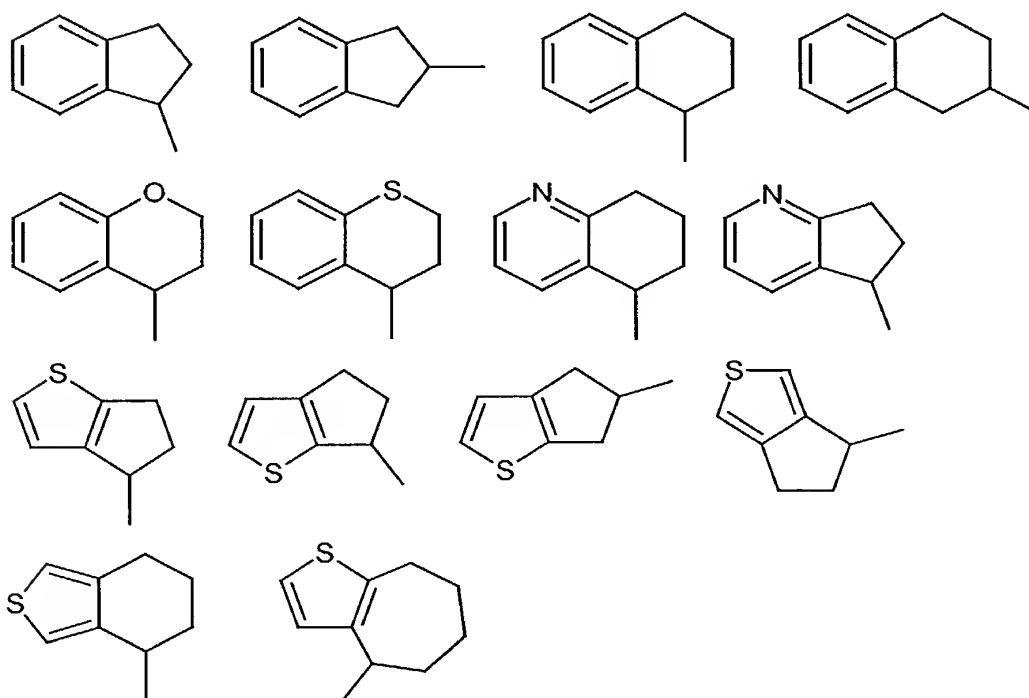
$R^1$  represents hydrogen or represents in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl or arylalkyl and

$R^2$  represents in each case benzo-fused, pyrido-fused or thieno-fused cycloalk(en)yl, oxacycloalk(en)yl or thiacycloalk(en)yl, where all cyclic and heterocyclic groupings may be substituted.

2. Compounds according to Claim 1, characterized in that

$R^1$  represents hydrogen, represents optionally cyano-, halogen-,  $C_1$ - $C_4$ -alkoxy-,  $C_1$ - $C_4$ -alkylthio-,  $C_1$ - $C_4$ -alkylsulphinyl- or  $C_1$ - $C_4$ -alkylsulphonyl-substituted alkyl having 1 to 6 carbon atoms, represents in each case optionally halogen-substituted alkenyl or alkynyl having in each case 2 to 6 carbon atoms, represents in each case optionally cyano-, halogen- or  $C_1$ - $C_4$ -alkyl-substituted cycloalkyl or cycloalkyl-alkyl having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, or represents in each case optionally nitro-, cyano-, halogen-,  $C_1$ - $C_4$ -alkyl-,  $C_1$ - $C_4$ -halogenoalkyl-,  $C_1$ - $C_4$ -alkoxy- or  $C_1$ - $C_4$ -halogenoalkoxy-substituted

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amino-carbonyl, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkyl-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-amino-carbonyl-amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-sulphonyl-amino.

5        3.        Compounds according to Claim 1 or 2, characterized in that

10            R<sup>1</sup>        represents hydrogen, represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphanyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each case optionally fluorine-, chlorine- or bromine-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl or butinyl, represents in each case optionally cyano-, fluorine-, chlorine-,  
15            bromine-, methyl-, ethyl-, n- or i-propyl-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl, or represents in each case optionally nitro-, cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-,  
20            ethoxy-, n- or i-propoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or benzyl, and

25            R<sup>2</sup>        represents one of the cyclic and heterocyclic groupings mentioned in Claim 1 or 2, where the substituents may be selected from one of the groupings listed below:  
nitro, hydroxyl, amino, cyano, carbamoyl, thiocarbamoyl, formyl-amino, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, difluoromethyl, dichloromethyl, trifluoromethyl, trichloromethyl, chlorodifluoromethyl, fluorodichloromethyl, methoxy,  
30            ethoxy, n- or i-propoxy, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, fluorodichloromethoxy, methylthio, ethylthio, n- or i-

10

15

20

 $\mathbb{R}^2$ 

where all cyclic groupings may be substituted by one of the groupings listed below:

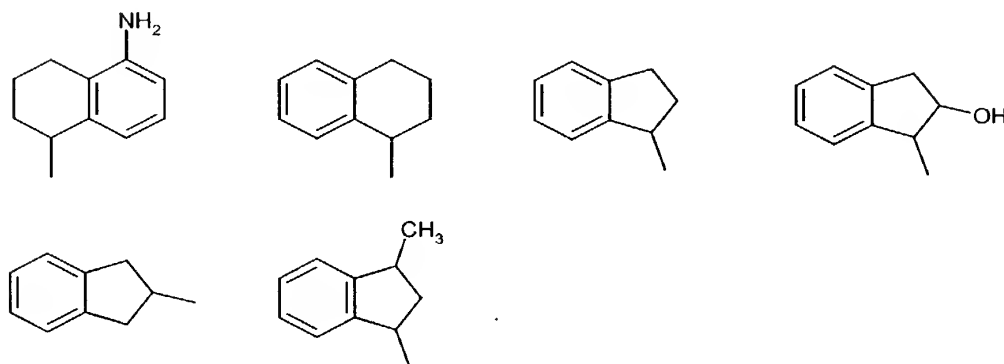
nitro, hydroxyl, amino, cyano, carbamoyl, thiocarbamoyl, formylamino, fluo-  
rine, chlorine, bromine, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, di-

fluoromethyl, dichloromethyl, trifluoromethyl, trichloromethyl, chlorodifluoromethyl, fluorodichloromethyl, methoxy, ethoxy, n- or i-propoxy, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, fluorodichloromethoxy, methylthio, ethylthio, n- or i-propylthio, difluoromethylthio, trifluoromethylthio, chlorodifluoromethylthio, fluorodichloromethylthio, methylsulphinyl, ethylsulphinyl, trifluoromethylsulphinyl, methylsulphonyl, ethylsulphonyl, trifluoromethylsulphonyl, methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, acetyl, propionyl, n- or i-butyryl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylaminocarbonyl, ethylaminocarbonyl, n- or i-propylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, acetylamino, propionylamino, n- or i-butyrylamino, methoxycarbonylamino, ethoxycarbonylamino, n- or i-propoxycarbonylamino, methylaminocarbonylamino, ethylaminocarbonylamino, n- or i-propylaminocarbonylamino, methylsulphonylamino, ethylsulphonylamino, n- or i-propylsulphonylamino.

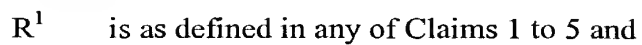
5. Compounds according to any of Claims 1 to 4, characterized in that

$R^1$  represents hydrogen, methyl, ethyl or n- or i-propyl, and

$R^2$  represents one of the groupings below.

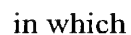


in which



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are reacted with amino compounds of the general formula (III)



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R<sup>2</sup> is as defined in any of Claims 1 to 5,

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7. Herbicidal compositions, characterized in that they comprise at least one compound according to any of Claims 1 to 5 and customary extenders.

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**COMBINED DECLARATION AND POWER OF ATTORNEY**

ATTORNEY DOCKET NO

I, a below named inventor, I hereby declare that:

My residence, post office address and citizenship are as stated below next to my name. I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought

on the invention entitled

**SUBSTITUTED N-CYANO AMIDINES**

the specification of which is attached hereto,

or was filed on **May 4, 2000**

as a PCT Application Serial No. **PCT/EP00/04013**

I hereby state that I have reviewed and understand the contents of the above-identified specification, including the claims.

I acknowledge the duty to disclose information which is material to the patentability of this application in accordance with Title 37, Code of Federal Regulations, §1.56.

I hereby claim foreign priority benefits under Title 35, United States Code, §119 of any foreign application(s) for patent or inventor's certificate listed below and have also identified below any foreign application for patent or inventor's certificate having a filing date before that of the application on which priority is claimed:

Prior Foreign Application(s), the priority(ies) of which is/are to be claimed:

**199 21 886.2**  
(Number)

**Germany**  
(Country)

**May 12, 1999**  
(Month/Day/Year Filed)

I hereby claim the benefit under Title 35, United States Code, §120 of any United States application(s) listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States application in the manner provided by the first paragraph of Title 35, United States Code, §112, I acknowledge the duty to disclose the material information as defined in Title 37, Code of Federal Regulations, §1.56 which occurred between the filing date of the prior application and the national or PCT international filing date of this application:

(Application Serial No.)	(Filing Date)	(Status)
		(patented, pending, abandoned)
(Application Serial No.)	(Filing Date)	(Status)
		(patented, pending, abandoned)

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

**Le A 33 707-US**



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**POWER OF ATTORNEY:** As a named inventor, I hereby appoint the following attorney(s) and this application and to transact all business in the Patent and Trademark Office conne

JOSEPH C. GIL, Patent Office Registration Number 26,602 ARON PREIS, Patent Office Registration Number 29,426  
 LYNDANNE M. WHALEN, Patent Office Registration Number 29,457 THOMAS W. ROY,  
 Patent Office Registration Number 29,582 RICHARD E. L. HENDERSON, Patent Office Registration Number 31,619  
 GODFRIED R. AKORLI, Patent Office Registration Number 28,779 N. DENISE BROWN, Patent Office  
 Registration Number 36,097 NOLAND J. CHEUNG, Patent Office Registration Number 39,138  
 DIDERICO VAN EYL, Patent Office Registration Number 38,641 CAROLYN M. SLOANE, Patent Office  
 Registration Number 44,339 JAMES R. FRANKS, Patent Office Registration Number 42,552  
 JACKIE ANN ZURCHER, Patent Office Registration Number 42,251  
 RAYMOND J. HARMUTH, Patent Office Registration Number 33,896

all of Bayer Corporation, Pittsburgh, Pennsylvania 15205-9741

Send Correspondence To: Direct Telephone Calls To:

Patent Department

Bayer Corporation (412) 777-2349

100 Bayer Road

Pittsburgh, Pennsylvania 15205-9741

FULL NAME OF SOLE OR FIRST INVENTOR Ernst Rudolf F. Gesing		INVENTOR'S SIGNATURE <i>Ernst Rudolf F. Gesing</i>	DATE 2001-08-17
RESIDENCE D 40699 Erkrath, Germany <i>DEK</i>		CITIZENSHIP German	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			
FULL NAME OF SECOND INVENTOR Achim Hense		INVENTOR'S SIGNATURE <i>Achim Hense</i>	DATE 2001-10-11
RESIDENCE D 42799 Leichlingen, Germany <i>DEK</i>		CITIZENSHIP German	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			
FULL NAME OF THIRD INVENTOR Kristian Kather		INVENTOR'S SIGNATURE <i>Kristian Kather</i>	DATE 2001-08-17
RESIDENCE D 50735 Köln, Germany <i>DEK</i>		CITIZENSHIP German	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			
FULL NAME OF FOURTH INVENTOR Stefan Lehr		INVENTOR'S SIGNATURE <i>Stefan Lehr</i>	DATE 2001-10-16
RESIDENCE D 40764 Langenfeld, Germany <i>DEK</i>		CITIZENSHIP German	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			
FULL NAME OF FIFTH INVENTOR Hans-Jochem Riebel		INVENTOR'S SIGNATURE <i>Hans-Jochem Riebel</i>	DATE 2001-10-25
RESIDENCE D 56242 Selters, Germany <i>DEK</i>		CITIZENSHIP German	
POST OFFICE ADDRESS Heimatstr. 1, D 56242 Selters, Germany			
FULL NAME OF SIXTH INVENTOR Lothar Rohe		INVENTOR'S SIGNATURE <i>Lothar Rohe</i>	DATE 2001-11-08
RESIDENCE D 42113 Wuppertal, Germany <i>DEK</i>		CITIZENSHIP German	
POST OFFICE ADDRESS Damaschkeweg 75, D 42113 Wuppertal, Germany			
FULL NAME OF SEVENTH INVENTOR Katharina Voigt		INVENTOR'S SIGNATURE <i>Katharina Voigt</i>	DATE 2001-08-15
RESIDENCE D 40789 Monheim, Germany <i>DEK</i>		CITIZENSHIP German	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			

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FULL NAME OF EIGHTH INVENTOR Mark Wilhelm Drewes		INVENTOR'S SIGNATURE <i>Mark Wilhelm Drewes</i>		DATE 23-8-01
RESIDENCE D 40764 Langenfeld, Germany		CITIZENSHIP German		
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany				
FULL NAME OF NINTH INVENTOR Dieter Feucht		INVENTOR'S SIGNATURE <i>Dieter Feucht</i>		DATE 2001-08-24
RESIDENCE D 40789 Monheim, Germany		CITIZENSHIP German		
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany				
FULL NAME OF TENTH INVENTOR Rolf Pontzen		INVENTOR'S SIGNATURE <i>Rolf Pontzen</i>		DATE 2001-08-27
RESIDENCE D 42799 Leichlingen, Germany		CITIZENSHIP German		
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany				
FULL NAME OF ELEVENTH INVENTOR Ingo Wetcholowsky		INVENTOR'S SIGNATURE <i>Ingo Wetcholowsky</i>		DATE 2001-09-23
RESIDENCE Vinhedo, S.P., CEP13280000, Cond. Estancia Marambaia, Brazil		CITIZENSHIP German		
POST OFFICE ADDRESS Vinhedo, S.P., CEP13280000, Cond. Estancia Marambaia, Rua Avare 500, Brazil				
FULL NAME OF TWELFTH INVENTOR		INVENTOR'S SIGNATURE		DATE
RESIDENCE		CITIZENSHIP		
POST OFFICE ADDRESS				
FULL NAME OF THIRTEENTH INVENTOR		INVENTOR'S SIGNATURE		DATE
RESIDENCE		CITIZENSHIP		
POST OFFICE ADDRESS				
FULL NAME OF FOURTEENTH INVENTOR		INVENTOR'S SIGNATURE		DATE
RESIDENCE		CITIZENSHIP		
POST OFFICE ADDRESS				
FULL NAME OF FIFTEENTH INVENTOR		INVENTOR'S SIGNATURE		DATE
RESIDENCE		CITIZENSHIP		
POST OFFICE ADDRESS				
FULL NAME OF SIXTEENTH INVENTOR		INVENTOR'S SIGNATURE		DATE
RESIDENCE		CITIZENSHIP		
POST OFFICE ADDRESS				
FULL NAME OF SEVENTEENTH INVENTOR		INVENTOR'S SIGNATURE		DATE
RESIDENCE		CITIZENSHIP		
POST OFFICE ADDRESS				